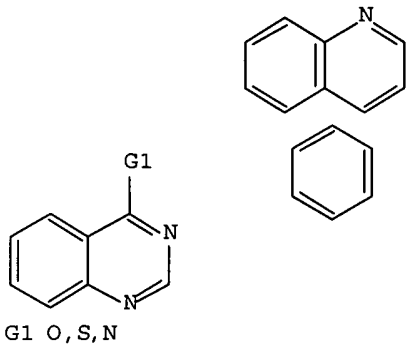
No internet access on 1/20/04
Search done by Bill Mercer

10/088,854

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d l4 ibib abs hitstr tot

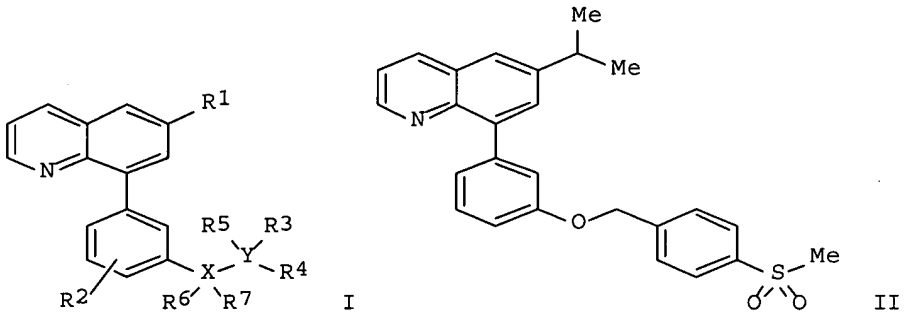
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757679 CAPLUS Full-text
DOCUMENT NUMBER: 139:276825
TITLE: Preparation of 8-arylquinoline PDE4 inhibitors
INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel; Deschenes, Denis; MacDonald, Dwight; Dube, Laurence
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078397	A1	20030925	WO 2003-CA374	20030317

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-365088P P 20020318
OTHER SOURCE(S): MARPAT 139:276825
GI



I II

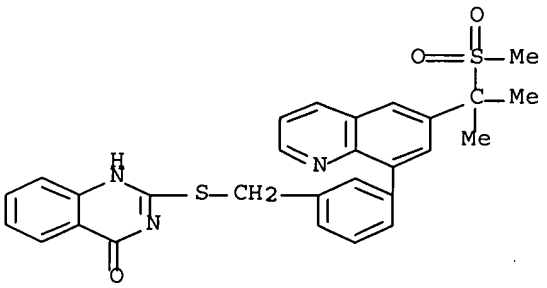
AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO2H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl,

quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO2, O, or CO; wherein when Y = S, SO2, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC50 values ranging from 80 μM to 0.029 μM in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor α (TNF-α) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant reduction in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 150 nM to 0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

IT 605684-75-1P, 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]-3H-quinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 605684-75-1 CAPLUS

CN 4(1H)-Quinazolinone, 2-[[[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



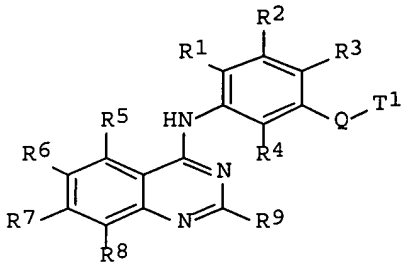
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:590835 CAPLUS Full-text
DOCUMENT NUMBER: 139:149651
TITLE: Preparation of 4-phenylaminoquinazoline derivatives as fructose 1,6-bisphosphatase inhibitors
INVENTOR(S): Bauer, Paul H.; Wright, Stephen W.; Schnur, Rodney C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144308	A1	20030731	US 2002-251073	20020920

PRIORITY APPLN. INFO.: US 2001-324751P P 20010924
OTHER SOURCE(S): MARPAT 139:149651
GI



I

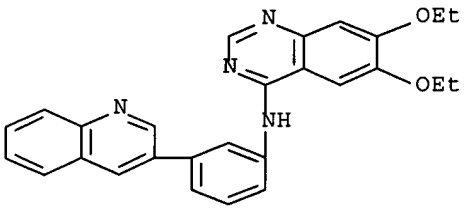
AB The present invention relates to certain quinazoline compds. (I), prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs, [wherein Q = pyrrolyl, pyrazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, triazolyl, furyl, quinolyl, imidazolyl, pyridyl pyrimidyl; T1 = H, Me, Et, OR10, SR10, cyano, cyclopropyl, cyclobutyl, NH2, NHR10, N(R10)2, NHNH2, CHR10OH, CH2R10, COCH3, CON(R10)2; R1, R2, R3, R4 = H, halo, trifluoromethyl, C1-4 alkyl, C1-4 alkoxy; R5, R8 = H, F, Cl, HO, Me; R6, R7 = C1-4 alkyl, C1-4 alkoxy; R9 = H, cyclopropyl, cyclobutyl, C1-4 alkyl, (CH2)m-Y; R10 = H, Me, Et; m = 1, 2, 3, or 4; Y = F, Cl, Br, HO, N(R11)2, N-methylpiperazin-1-yl, thiazolidin-3-yl, thiomorpholin-4-yl, piperidin-1-yl, pyrrolidin-1-yl, morpholin-4-yl, imidazol-1-yl, C1-4 alkoxy, SR11, SOR11, SO2R11, CO2H, CO2(C1-C4)alkyl or CON(R11)2; R11 = H, C1-4 alkyl] which are fructose 1,6-bisphosphatase inhibitors (no data) and have utility in the treatment of diabetes mellitus, hypercholesterolemia, hyperlipidemia, diabetic complications, and cancer. The invention also relates to pharmaceutical compns. and kits comprising such quinazoline compds. I and to methods of using such compds. in the treatment of diabetes mellitus, hypercholesterolemia, hyperlipidemia, diabetic complications, and cancer. Thus, a solution of 0.157 g (0.62 mmol) of 4-chloro-6,7-diethoxyquinazoline in 2.5 mL of ethanol was heated at reflux, treated with 0.136 g (0.62 mmol) of 4-(3-aminophenyl)thiazole-2- carboxylic acid amide dissolved in 4 mL of ethanol added in a single portion, and heated at reflux for 30 min, after which the reaction mixture was allowed to cool and the precipitated product was filtered, washed with ethanol, and dried to afford 0.152 g (56 %) of 4-[3-(6,7- diethoxyquinazolin-4-ylamino)phenyl]thiazole-2-carboxylic acid amide hydrochloride.

IT 570430-50-1P, (6,7-Diethoxyquinazolin-4-yl)(3-quinolin-3-ylphenyl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylaminoquinazoline derivs. as fructose bisphosphatase

inhibitors for treatment of diabetes mellitus, hypercholesterolemia, hyperlipidemia, diabetic complications and cancer)

RN 570430-50-1 CAPLUS

CN 4-Quinazolinamine, 6,7-diethoxy-N-[3-(3-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:376830 CAPLUS Full-text

DOCUMENT NUMBER: 138:385441

TITLE: Preparation of quinazolines as antitumor agents

INVENTOR(S): Hennequin, Laurent Francois Andre; Kettle, Jason Grant; Pass, Martin; Bradbury, Robert Hugh

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040108	A1	20030515	WO 2002-GB4931	20021031

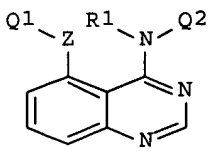
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

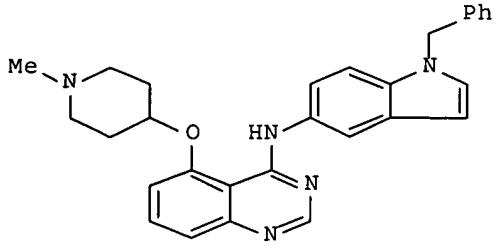
PRIORITY APPLN. INFO.: GB 2001-26433 A 20011103
GB 2001-29059 A 20011205

OTHER SOURCE(S): MARPAT 138:385441

GI



I



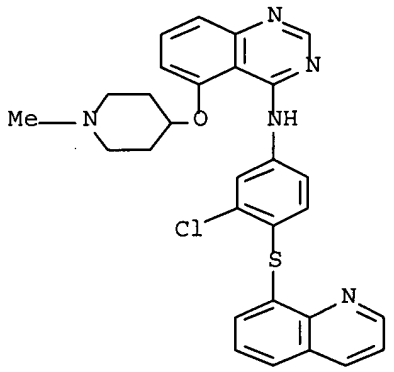
II

AB Anilino-, indolylamino-, and benzopyrazolylamino-substituted quinazolines I [wherein R1, R2, R3, and R6 = independently H or alkyl; Z = a bond, O, S, or NR2; Q1 = (un)substituted cycloalkyl(alkyl), cycloalkyl(alkenyl), cycloalkyl(alkynyl), or heterocyclyl(alkyl); with the proviso that alkylene chains within Q1Z are optionally interrupted by O, S, SO, SO2, NR3, CO, CHOR3, CONR3, NR3CO, SO2NR3, NR3SO2, CH=CH, or C.tplbond.C; Q2 = (un)substituted C6H4-4-X2Q2, 1-(X3Q4)indol-5-yl, 1-(X3Q4)-indol-6-yl, 1-(X3Q4)-1H-benzopyrazol-5-yl, or 1-(X3Q4)-1H-benzopyrazol-6-yl; X2 = a bond, O, S, SO, SO2, NR6, CHOR6, CONR6, NR6CO, SO2NR6, NR6SO2, OC(R6)2, C(R6)2O, SC(R6)2, C(R6)2S, CO, C(R6)2NR6, or NR6C (R6)2; or X2Q3 = heterocyclylcarbonyl; X3 = a bond, SO2, CO, SO2NR7, or C(R7)2; Q3 and Q4 = independently (un)substituted (heteroaryl); and pharmaceutically acceptable salts thereof] were prepared for use in the prevention or treatment of tumors which are sensitive to inhibition of erbB receptor tyrosine kinases. For example, coupling of 4-hydroxy-1-methylpiperidine with 5-fluoro-3,4-dihydroquinazolin-4-one using NaH in DMA gave the ether (91%). Reaction with POCl3 and di-isopropylethylamine in DCM provided 4-chloro-5-(1-methylpiperidin-4-yloxy)quinazoline (62%), which was coupled with 5-amino-1-benzylindole in the presence of IPA containing HCl in ether to afford II·HCl (46%). The biol. activity of the example compds. was assessed in five assays. Thus, I inhibited the phosphorylation of a tyrosine-containing polypeptide substrate by epidermal growth factor receptor (EGFR) kinase, erbB2 kinase, and erbB4 kinase with IC50 values in the range of 0.001 μM - 10 μM. I also inhibited the proliferation of both human naso-pharyngeal carcinoma KB cells and non-neoplastic epithelial H16N-2 cells with IC50 values in the range 0.001 μM - 20 μM. In addition, I inhibited the growth of colorectal adenocarcinoma LoVo and human mammary carcinoma BT-474 tumor cell xenografts in vivo with activities in the range of 1 mg/kg/day to 200 mg/kg/day with no physiol. unacceptable toxicity at the ED.

IT 524953-80-8P, 4-[3-Chloro-4-(8-quinolylthio)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinazolines as erbB receptor tyrosine kinase inhibitors for treatment of cancer)

RN 524953-80-8 CAPLUS

CN 4-Quinazolinamine, N-[3-chloro-4-(8-quinolinylthio)phenyl]-5-[(1-methyl-4-piperidinyl)oxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:282325 CAPLUS Full-text
DOCUMENT NUMBER: 138:321285
TITLE: Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028641	A2	20030410	WO 2002-US31059	20020930
WO 2003028641	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-326463P P 20011001
US 2001-326758P P 20011002
OTHER SOURCE(S): MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

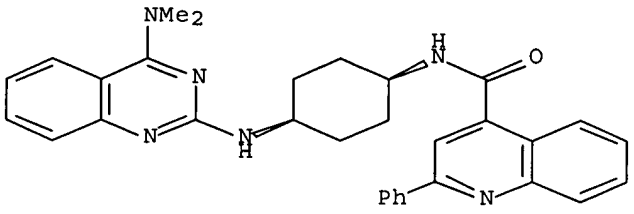
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepared Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2- trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.

IT 509145-49-7P 510741-66-9P 510743-46-1P
510743-60-9P 510747-78-1P 510747-82-7P
510749-77-6P 510749-83-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 509145-49-7 CAPLUS

CN 4-Quinolinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]-2-phenyl- (9CI) (CA INDEX NAME)

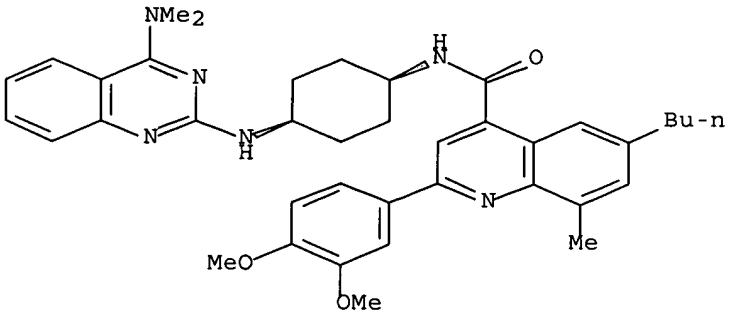
Relative stereochemistry.



RN 510741-66-9 CAPLUS

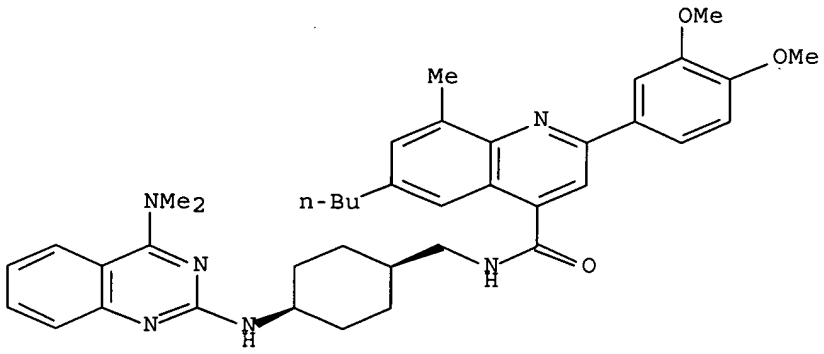
CN 4-Quinolinecarboxamide, 6-butyl-2-(3,4-dimethoxyphenyl)-N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]-8-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



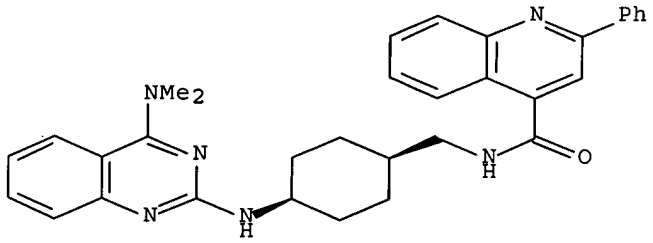
RN 510743-46-1 CAPLUS
CN 4-Quinolinecarboxamide, 6-butyl-2-(3,4-dimethoxyphenyl)-N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-8-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



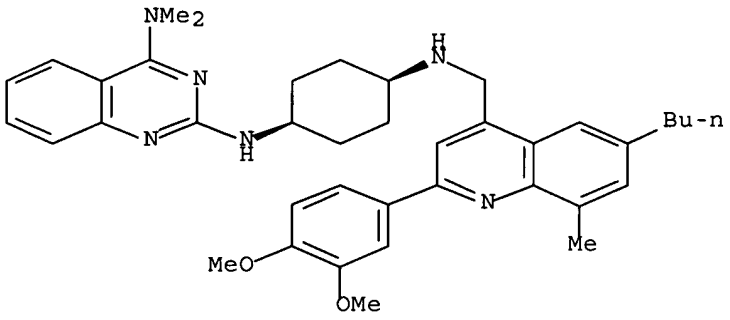
RN 510743-60-9 CAPLUS
CN 4-Quinolinecarboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-2-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



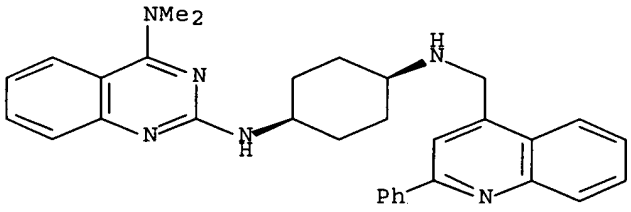
RN 510747-78-1 CAPLUS
CN 2,4-Quinazolinediamine, N2-[cis-4-[[[6-butyl-2-(3,4-dimethoxyphenyl)-8-methyl-4-quinolinyl]methyl]amino]cyclohexyl]-N4,N4-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



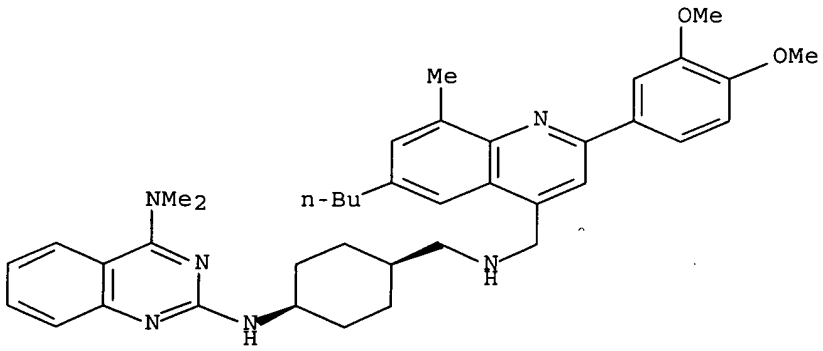
RN 510747-82-7 CAPLUS
CN 2,4-Quinazolinediamine, N4,N4-dimethyl-N2-[cis-4-[[(2-phenyl-4-quinolinyl)methyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



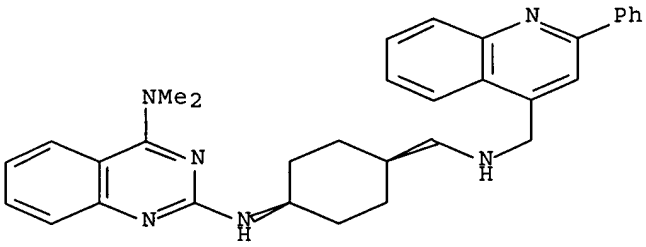
RN 510749-77-6 CAPLUS
CN 2,4-Quinazolinediamine, N2-[cis-4-[[[[6-butyl-2-(3,4-dimethoxyphenyl)-8-methyl-4-quinolinyl]methyl]amino]methyl]cyclohexyl]-N4,N4-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 510749-83-4 CAPLUS
CN 2,4-Quinazolinediamine, N4,N4-dimethyl-N2-[cis-4-[[[(2-phenyl-4-quinolinyl)methyl]amino]methyl]cyclohexyl]- (9CI) (CA INDEX NAME)

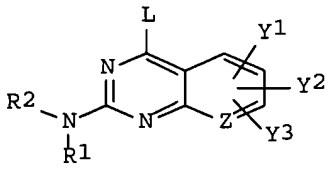
Relative stereochemistry.



L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:977603 CAPLUS Full-text
DOCUMENT NUMBER: 138:55973
TITLE: Preparation of quinazoline and pyrido[2,3-d]pyrimidine inhibitors of phosphodiesterase (PDE) 7
INVENTOR(S): Pitts, William J.; Barbosa, Joseph
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102315	A2	20021227	WO 2002-US19130	20020617
WO 2002102315	A3	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003092721	A1	20030515	US 2002-173322	20020617
US 2003100571	A1	20030529	US 2002-173530	20020617

PRIORITY APPLN. INFO.: US 2001-299287P P 20010619
US 2002-368752P P 20020329
OTHER SOURCE(S): MARPAT 138:55973
GI



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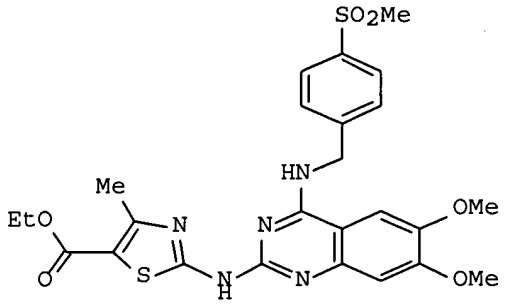


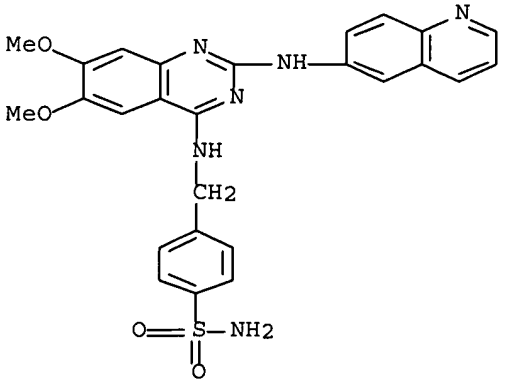
II

AB The title compds. [I; R1 = H, alkyl; R2 = heteroaryl, heterocyclyl, aryl fused to heteroaryl or heterocyclyl; L = haloalkyl, alkyl, aryl, etc.; Y1-Y3 = H, halo, alkyl, etc.; Z = N, CH], phosphodiesterase 7 (PDE 7) inhibitors useful in treating T-cell mediated diseases, were prepared Thus, reacting 2,4-dichloro-6,7-dimethoxyquinazoline with 4- methylsulfonylbenzylamine.HCl followed by palladium-catalyzed coupling of the intermediate with Et 2-amino-4-methylthiazole-5-carboxylate afforded II.

IT 479072-18-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline and pyrido[2,3-d]pyrimidine inhibitors of phosphodiesterase (PDE) 7)

RN 479072-18-9 CAPLUS

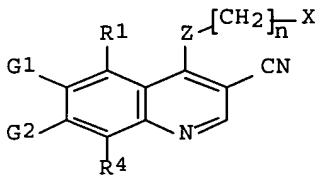
CN Benzenesulfonamide, 4-[[[6,7-dimethoxy-2-(6-quinolinylamino)-4-quinazolinyl]amino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:672213 CAPLUS Full-text
DOCUMENT NUMBER: 135:226901
TITLE: Preparation of 3-cyanoquinolines as protein tyrosine kinase inhibitors
INVENTOR(S): Wissner, Allan; Tsou, Hwei-ru; Berger, Dan M.; Floyd, Middleton B., Jr.; Hamann, Philip R.; Zhang, Nan; Salvati, Mark E.; Frost, Philip
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 68 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6288082	B1	20010911	US 1999-406573	19990924

PRIORITY APPLN. INFO.: US 1998-150693P P 19980929
OTHER SOURCE(S): MARPAT 135:226901
GI



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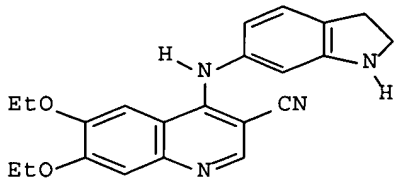
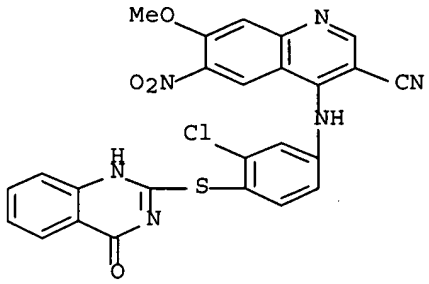


II

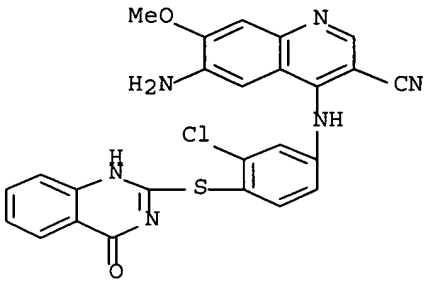
AB The title compds. [I; X = (un)substituted bicyclic aryl or bicyclic heteroaryl ring system of 8-12 atoms where the bicyclic heteroaryl ring contains 1-4 heteroatoms selected from N, O and S; Z = (un)substituted NH, O, S; G1, G2, R1, R4 = H, halo, alkyl, etc.; n = 0-1], useful as antineoplastic agents and in the treatment of polycystic kidney disease, were prepared Thus, Me 2-amino-4,5-diethoxybenzoate was N-condensed with HCNMe2/POCl3 and the product cyclocondensed with MeCN to give, after POCl3 treatment, 4-chloro-6,7-diethoxyquinoline-3-carbonitrile which was aminated by 6-aminoindoline to give title compd II. Data for biol. activity (inhibition of EGFR kinase, KDR, Eck, Mek-Erk) of I were given.

IT 263170-82-7P 263170-83-8P 263170-84-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-cyanoquinolines as protein tyrosine kinase inhibitors)

RN 263170-82-7 CAPLUS
CN 3-Quinolinecarbonitrile, 4-[[3-chloro-4-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]phenyl]amino]-7-methoxy-6-nitro- (9CI) (CA INDEX NAME)

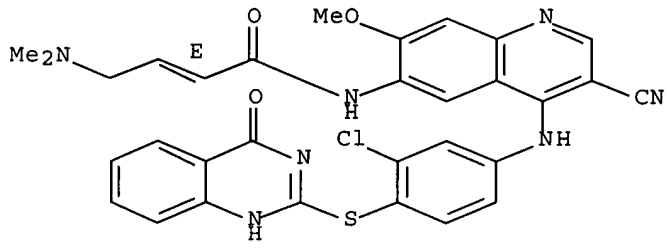


RN 263170-83-8 CAPLUS
CN 3-Quinolinecarbonitrile, 6-amino-4-[[3-chloro-4-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]phenyl]amino]-7-methoxy- (9CI) (CA INDEX NAME)



RN 263170-84-9 CAPLUS
CN 2-Butenamide, N-[4-[[3-chloro-4-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]phenyl]amino]-3-cyano-7-methoxy-6-quinolinyl]-4-(dimethylamino)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS Full-text
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

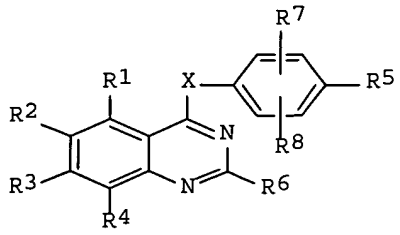
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
NO 2002001399	A	20020430	NO 2002-1399	20020320

PRIORITY APPLN. INFO.:
GB 1999-22154 A 19990921
GB 1999-22170 A 19990921
WO 2000-GB3580 W 20000918

OTHER SOURCE(S): MARPAT 134:266317

GI



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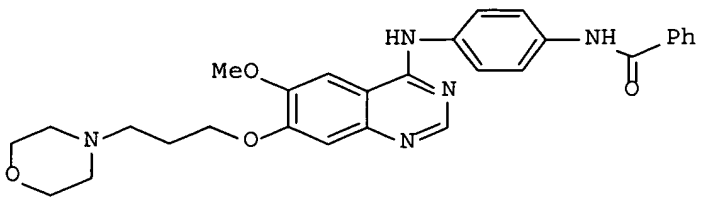


II

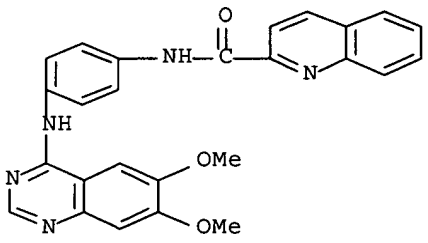
AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 =

H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT 331770-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331770-45-7 CAPLUS

CN 2-Quinolinecarboxamide, N-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:573671 CAPLUS Full-text

DOCUMENT NUMBER: 133:177183

TITLE: Preparation of quinazoline derivatives as angiogenesis inhibitors

INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.

SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

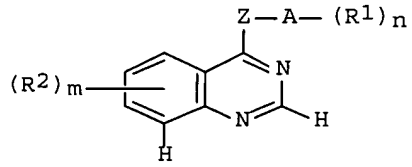
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047212	A1	20000817	WO 2000-GB373	20000208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1154774	A1	20011121	EP 2000-902730	20000208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008128	A	20020213	BR 2000-8128	20000208
JP 2002536414	T2	20021029	JP 2000-598164	20000208
EE 200100409	A	20021216	EE 2001-409	20000208
AU 763618	B2	20030731	AU 2000-24475	20000208
ZA 2001006340	A	20021101	ZA 2001-6340	20010801
NO 2001003882	A	20011009	NO 2001-3882	20010809

PRIORITY APPLN. INFO.: EP 1999-400305 A 19990210
WO 2000-GB373 W 20000208

OTHER SOURCE(S): MARPAT 133:177183
GI



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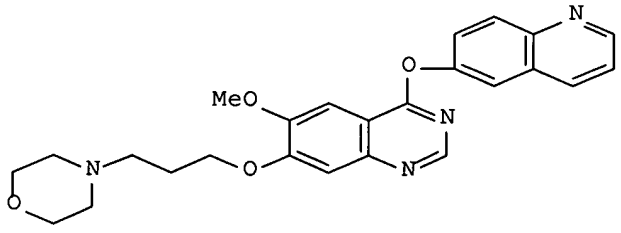


II

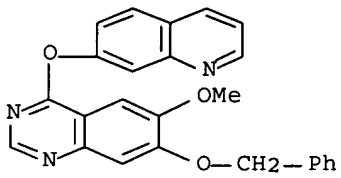
AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH2, or a bond; n = 0-5; m = 0-3; R2 = H, OH, halo, CN, NO2, CF3, alkyl(sulfanyl), alkoxy, NR3N4, or R5X1; R3 and R4 = independently H or alkyl; X1 = a bond, O, CH2, OC(O), CO, S, SO, SO2, NR6CO, CONR7, SO2R8, NR9SO2, or NR10; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R6-R10 = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For instance, II was synthesized in a 9-step

sequence starting with the cyclization of 2-amino-4-benzyloxy-5-methoxybenzamide using Gold's reagent in dioxane to form 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (84%). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IT 288385-30-8P, 7-Benzyloxy-6-methoxy-4-(quinolin-7-yloxy)quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(angiogenesis inhibitor; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

RN 288385-30-8 CAPLUS

CN Quinazoline, 6-methoxy-7-(phenylmethoxy)-4-(7-quinolinyloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:227652 CAPLUS Full-text
DOCUMENT NUMBER: 132:265101
TITLE: Preparation of 3-cyanoquinolines as protein tyrosine kinase inhibitors
INVENTOR(S): Wissner, Allan; Tsou, Hwei-Ru; Berger, Dan Maarten; Floyd, Middleton Brawner, Jr.; Hamann, Philip Ross; Zhang, Nan; Salvati, Mark Ernest; Frost, Philip
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018761	A1	20000406	WO 1999-US22054	19990922

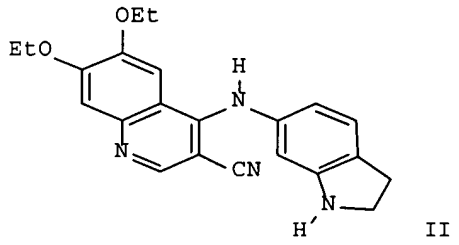
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2344169	AA	20000406	CA 1999-2344169	19990922
AU 9961593	A1	20000417	AU 1999-61593	19990922
AU 763669	B2	20030731		
EP 1117659	A1	20010725	EP 1999-948410	19990922
EP 1117659	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525369	T2	20020813	JP 2000-572221	19990922
NZ 510551	A	20030328	NZ 1999-510551	19990922
NO 2001001575	A	20010528	NO 2001-1575	20010328
ZA 2001002729	A	20020703	ZA 2001-2729	20010403
PRIORITY APPLN. INFO.:			US 1998-162802 A	19980929
			WO 1999-US22054 W	19990922

OTHER SOURCE(S): MARPAT 132:265101

GI



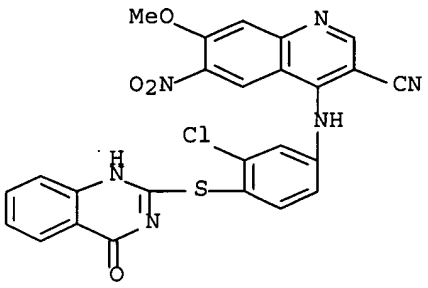
II

AB X(CH2)nZZ1CN [I; X = (un)substituted bicyclic (hetero)aryl or LTA; A = (un)substituted phenylene, -pyridinediyl, -pyrimidinediyl; T = O, S, (alkyl)imino(alkylene), oxyalkylene, etc.; Z = O, S, (alkyl or alkanoyl)imino; Z1 = 2-unsubstituted-5,6,7,8-(un)substituted quinoline-4,3-diyl; n = 0 or 1] were prepared Thus, Me 2-amino-4,5- diethoxybenzoate was N-condensed with HCNMe2/POCl3 and the product cyclocondensed with MeCN to give, after POCl3 treatment, 4-chloro-6,7-diethoxyquinoline-3-carbonitrile which was aminated by 6-aminoindoline to give title compd II. Data for biol. activity of I were given.

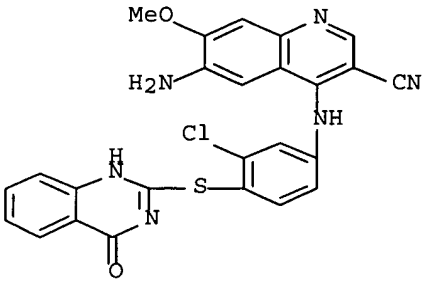
IT 263170-82-7P 263170-83-8P 263170-84-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-cyanoquinolines as protein tyrosine kinase inhibitors)

RN 263170-82-7 CAPLUS

CN 3-Quinolinecarbonitrile, 4-[[3-chloro-4-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]phenyl]amino]-7-methoxy-6-nitro- (9CI) (CA INDEX NAME)

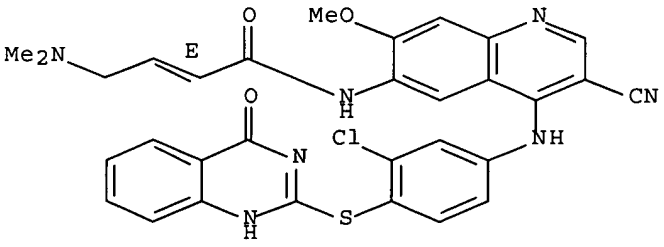


RN 263170-83-8 CAPLUS
CN 3-Quinolinecarbonitrile, 6-amino-4-[[3-chloro-4-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]phenyl]amino]-7-methoxy- (9CI) (CA INDEX NAME)



RN 263170-84-9 CAPLUS
CN 2-Butenamide, N-[4-[[3-chloro-4-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]phenyl]amino]-3-cyano-7-methoxy-6-quinolinyl]-4-(dimethylamino)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

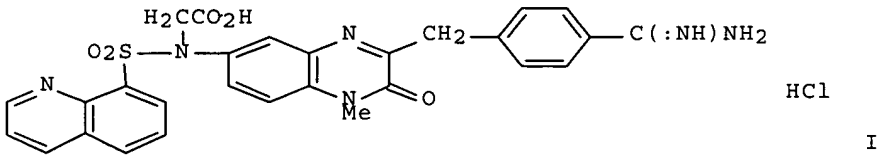
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:684278 CAPLUS Full-text
DOCUMENT NUMBER: 131:286541
TITLE: Bicyclic heterocyclic compds. for use as thrombin inhibitors

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 62 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19816983	A1	19991021	DE 1998-19816983	19980417
US 6200976	B1	20010313	US 1999-280248	19990329
CA 2323606	AA	19991028	CA 1999-2323606	19990413
WO 9954313	A1	19991028	WO 1999-EP2464	19990413
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9940303	A1	19991108	AU 1999-40303	19990413
EP 1071669	A1	20010131	EP 1999-923410	19990413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002512234	T2	20020423	JP 2000-544652	19990413

PRIORITY APPLN. INFO.: DE 1998-19816983 A 19980417
US 1998-88175P P 19980605
WO 1999-EP2464 W 19990413

OTHER SOURCE(S): MARPAT 131:286541
GI



I

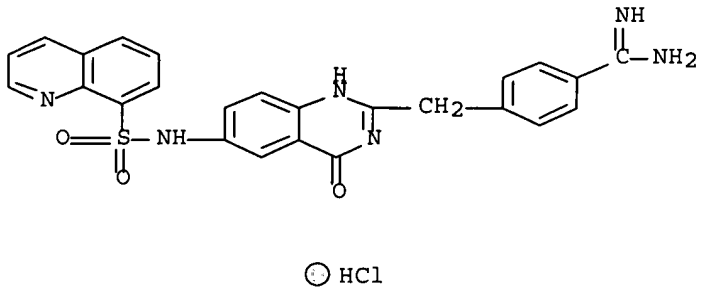
AB Heterocyclic compds. R-Het-A-Ar-R1 [A = O, S, CF2, CO, SO, SO2, NR2 (R2 = H, alkyl), carboxyalkyl, alkoxycarbonylalkyl; Ar = phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene, pyridazinylene which may be further substituted; Het = 1-alkyl-2-oxo-1,2-dihydrothieno[2,3-b]pyrazinylene, quinolinylene, isoquinolinylene, quinazolinylene, phthalazinylene, cinnolinylene, quinoxalinylene which may be further substituted or partially hydrated; R = H, F, Cl, Br, NO2, (un)substituted aliphatic, NH2, NHOH, Ph, tetrazolyl, imidazolyl, SO2Ph, cycloalkyl, cycloalkenyl; R1 = CN, (un)substituted amindino] were prepared for use as thrombin inhibitors. Thus, the benzamidine I increased the aPTT time by 200% at 0.950 μM.

IT 246540-92-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic heterocyclic compds. for use as thrombin inhibitors)

RN 246540-92-1 CAPLUS

CN Benzenecarboximidamide, 4-[[1,4-dihydro-4-oxo-6-[(8-quinolinylsulfonyl)amino]-2-quinazolinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:169450 CAPLUS Full-text

DOCUMENT NUMBER: 126:264070

TITLE: Synthesis of 2-substituted 4-quinazolone-5-carboxylic acids as inhibitors of DNA-gyrase

AUTHOR(S): Sui, Zhihua; Nguyen, Van N.; Fernandez, Jeff; Barrett, John F.; Ohemeng, Kwasi A.

CORPORATE SOURCE: Drug Discovery, R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA

SOURCE: Journal of Heterocyclic Chemistry (1997), 34(1), 153-156
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

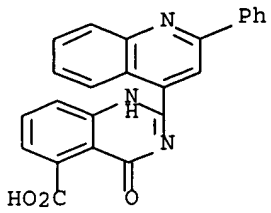
LANGUAGE: English

AB A series of 4-quinazolone-5-carboxylic acids were designed as bacterial DNA gyrase inhibitors. The syntheses of the target compds. were accomplished by reacting 3-aminophthalimide with aroyl chlorides followed by rearrangement of the resulting 3-acylaminophthalimides under basic conditions. The designed compds. showed moderate DNA gyrase inhibitory activity.

IT 188690-26-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of 2-substituted 4-quinazolone-5-carboxylic acids as inhibitors of DNA-gyrase)

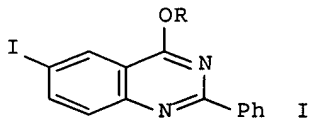
RN 188690-26-8 CAPLUS

CN 5-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-2-(2-phenyl-4-quinolinyl)- (9CI) (CA INDEX NAME)



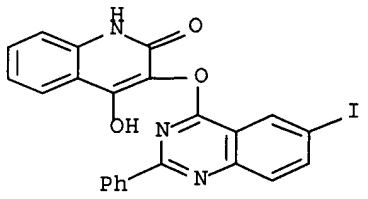
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:304564 CAPLUS Full-text
DOCUMENT NUMBER: 125:58435
TITLE: Synthesis and biological activities of some new heterocyclic compounds bearing 2-phenyl-6-iodoquinazolinyl-4-oxy moiety. Part I
AUTHOR(S): Abdel-Hamide, S. G.; El-Hakim, A.E.; Abdel-Rahman, R.M.
CORPORATE SOURCE: Faculty of Pharmacy, Al-Azhar University, Nasr, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (1996), 5(3), 219-222
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Lucknow University, Dep. of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB New heterocyclics with a 2-phenyl-6-iodoquinazolinyl-4-oxy moiety e.g. I (R = CH2CONHNH2, 2-amino-1,3,4-thiadiazol-5-ylmethyl, 2,4-dihydroxy-3- quinolinyl, 3-mercapto-1H-1,2,4-triazol-5-ylmethyl) have been prepared from the reactions of 4-carboethoxymethyloxy-2-phenyl-6-iodoquinazoline with various nitrogen compds. followed by cyclization reactions. Some of these new heterocyclics have been tested for their bactericidal activities.

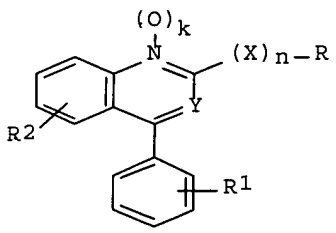
IT 178206-35-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new 2-phenyl-6-iodoquinazolinyl-4-oxy heterocyclics)
RN 178206-35-4 CAPLUS
CN 2(1H)-Quinolinone, 4-hydroxy-3-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:410651 CAPLUS Full-text
DOCUMENT NUMBER: 122:187610
TITLE: Preparation of 4-phenylquinolines and 4-phenylquinazoline as bone resorption inhibitors
INVENTOR(S): Sohda, Takashi; Taketomi, Shigehisa; Baba, Atsuo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 634169	A1	19950118	EP 1994-109861	19940625
EP 634169	B1	20000105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 188377	E	20000115	AT 1994-109861	19940625
CA 2126966	AA	19941230	CA 1994-2126966	19940628
JP 07069890	A2	19950314	JP 1994-146045	19940628
US 5719157	A	19980217	US 1996-756189	19961125
US 5852039	A	19981222	US 1997-783079	19970115

PRIORITY APPLN. INFO.: JP 1993-158652 19930629
US 1994-265793 19940627
US 1996-756189 19961125
OTHER SOURCE(S): MARPAT 122:187610
GI



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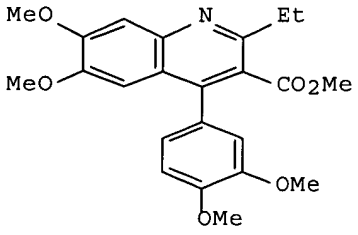


II

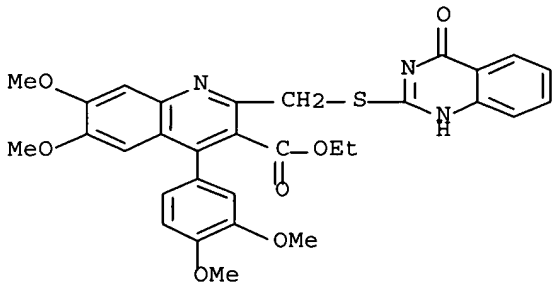
AB The title compds., 4-phenylquinolines, 4-phenylquinazolines, 4-phenylquinoline 1-oxides and 4-phenylquinazoline 1-oxides I (R = alkyl, heterocyclic group, etc.; R1, R2 = H, alkyl, etc.; n,k = 0,1; Y = nitrogen, methine) were

disclosed as pharmaceuticals for for preventing or treating osteoporosis and inhibiting bone resorption. A specifically claimed example compound was Me 4-(3,4-dimethoxyphenyl)-2-ethyl-6,7- dimethoxy-3-quinolinecarboxylate (II).

IT 153395-35-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenyl)quinolines or (phenyl)quinazolines bone resorption inhibitors)

RN 153395-35-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 2-[[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]methyl]-4-(3,4-dimethoxyphenyl)-6,7-dimethoxy-, ethyl ester (9CI) (CA INDEX NAME)

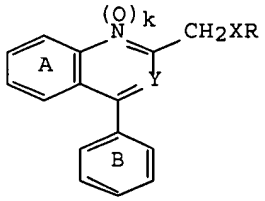


L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:655818 CAPLUS Full-text
DOCUMENT NUMBER: 121:255818
TITLE: Pharmaceutical composition containing quinoline and quinazoline derivatives and novel compounds therefor
INVENTOR(S): Sohda, Takashi; Makino, Haruhiko; Baba, Atsuo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Can. Pat. Appl., 99 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2094774	AA	19931025	CA 1993-2094774	19930423
JP 09169734	A2	19970630	JP 1997-11420	19930422
CN 1223115	A	19990721	CN 1998-109507	19980529

PRIORITY APPLN. INFO.: JP 1992-106424 A 19920424
JP 1992-121887 A 19920514
JP 1992-285865 A 19921023
JP 1992-37952 A 19930226
JP 1993-37952 A 19930226
JP 1993-95780 A3 19930422

OTHER SOURCE(S): MARPAT 121:255818
GI



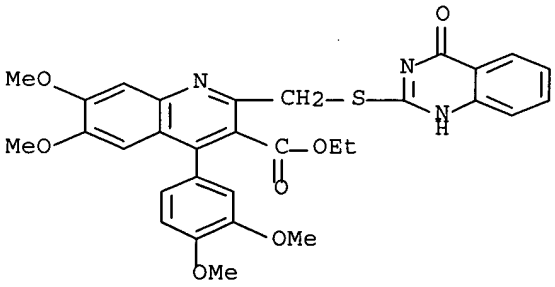
I

AB Quinolines and quinazolines I [Y = N, C-G (G is carboxyl which may be esterified); X = optionally oxidized S, O, alkylene; R = optionally substituted hydrocarbon or heterocyclic group; A and B rings may optionally have at least one substituent; k = 0, 1] were prepared and are antiinflammatory agent (test data given). Thus, treating Et 2-chloromethyl-6-7-dimethoxy-4-(3,4-dimethoxyphenyl)quinoline-3- carboxylate with 1-ethyl-2-mercaptoimidazole gave 78% Et 2-[(1-ethylimidazol-2-yl)thiomethyl]-6-7-dimethoxy-4-(3,4- dimethoxyphenyl)quinoline-3-carboxylate.

IT 153395-35-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory agents)

RN 153395-35-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 2-[[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]methyl]-4-(3,4-dimethoxyphenyl)-6,7-dimethoxy-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:217712 CAPLUS Full-text

DOCUMENT NUMBER: 120:217712

TITLE: Quinoline- and quinazoline-derivative antiarthritics and analgesics

INVENTOR(S): Sohda, Takashi; Makino, Haruhiko; Baba, Atsuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

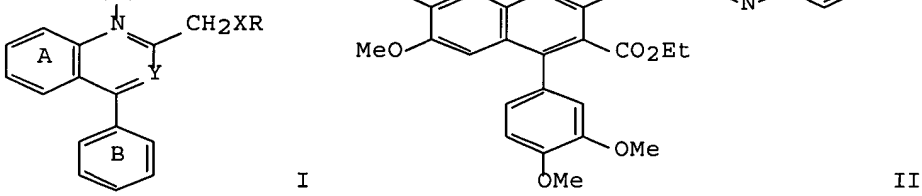
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 567107	A1	19931027	EP 1993-106521	19930422	
EP 567107	B1	20011121			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
AU 9336991	A1	19931028	AU 1993-36991	19930416	
AU 656069	B2	19950119			
US 5948782	A	19990907	US 1993-49500	19930421	
NO 9301482	A	19931025	NO 1993-1482	19930422	
JP 06306052	A2	19941101	JP 1993-95780	19930422	
JP 2648434	B2	19970827			
JP 09169734	A2	19970630	JP 1997-11420	19930422	
AT 209199	E	20011215	AT 1993-106521	19930422	
HU 64322	A2	19931228	HU 1993-1197	19930423	
RU 2130934	C1	19990527	RU 1993-4926	19930423	
CN 1079222	A	19931208	CN 1993-104980	19930424	
CN 1223115	A	19990721	CN 1998-109507	19980529	

PRIORITY APPLN. INFO.:	JP 1992-106424	A	19920424
	JP 1992-121887	A	19920514
	JP 1992-285865	A	19921023
	JP 1993-37952	A	19930226
	JP 1993-95780	A3	19930422

OTHER SOURCE(S): MARPAT 120:217712

GI



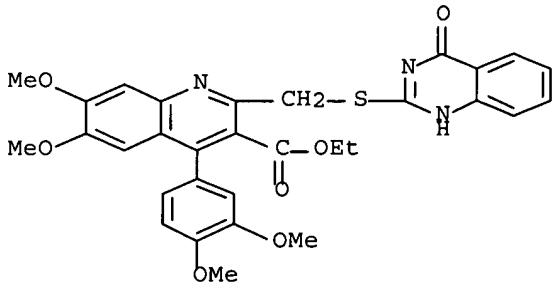
I II

AB The title compds. I [ring A and B may optionally have ≥1 substituent; R = (un)substituted hydrocarbon group, (un)substituted heterocyclic group having a ring-constituting C atom attached to X; X = optionally oxidized S atom, O, (CH2)q; q = 1-5; Y = N, CG; G = carboxyl which may be esterified; k = 0, 1], useful as antiinflammatory agents and analgesics in the treatment of arthritis, are prepared Thus, quinazoline derivative II (m.p. 183-184°) was prepared in 81% yield and demonstrated 36% swelling inhibitory rate when administered in a 50 mg/kg dosage in the rat carrageenin paw edema-inhibitory activity test.

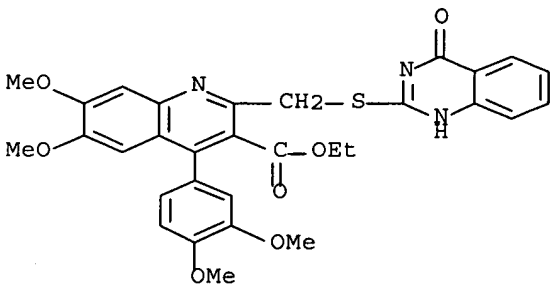
IT 153395-35-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antiarthritic and analgesic activity of)

RN 153395-35-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 2-[[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]methyl]-4-(3,4-dimethoxyphenyl)-6,7-dimethoxy-, ethyl ester (9CI) (CA INDEX NAME)



IT 153395-35-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiarthritic and analgesic activity of)
RN 153395-35-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 2-[[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]methyl]-4-(3,4-dimethoxyphenyl)-6,7-dimethoxy-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:23978 CAPLUS Full-text
DOCUMENT NUMBER: 114:23978
TITLE: Preparation of quinazolinone derivatives as anti-tumor agents
INVENTOR(S): Hughes, Leslie Richard; Oldfield, John; Pegg, Stephen John; Barker, Andrew John; Marsham, Peter Robert
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; National Research Development Corp.
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

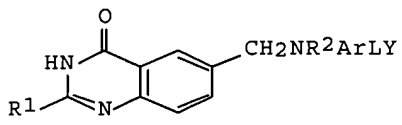
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 373891	A2	19900620	EP 1989-312986	19891212
EP 373891	A3	19901205		
EP 373891	B1	19941102		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

NO 8904692	A	19900618	NO 1989-4692		19891124
AU 8945883	A1	19900621	AU 1989-45883		19891204
ZA 8909481	A	19900829	ZA 1989-9481		19891212
ES 2063830	T3	19950116	ES 1989-312986		19891212
GB 2227016	A1	19900718	GB 1989-28146		19891213
GB 2227016	B2	19920715			
CA 2005476	AA	19900615	CA 1989-2005476		19891214
US 5089499	A	19920218	US 1989-450670		19891214
DK 8906366	A	19900616	DK 1989-6366		19891215
JP 02218668	A2	19900831	JP 1989-324135		19891215
US 5252573	A	19931012	US 1991-793183		19911118
US 5395838	A	19950307	US 1993-91828		19930713
PRIORITY APPLN. INFO.:			GB 1988-29296	A	19881215
			US 1989-450670	A3	19891214
			US 1991-793183	A3	19911118

OTHER SOURCE(S): MARPAT 114:23978

GI



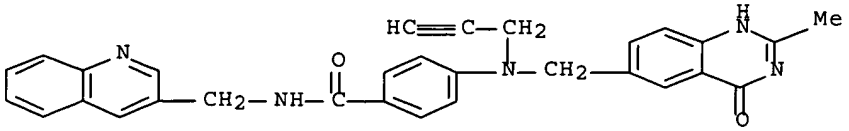
I

AB Title compds. I (R4 = H, H2N, C1-6 alkyl, C1-6 alkoxy, substituted C1-3 alkyl, C1-3 hydroxyalkoxy, C1-6 alkoxyalkoxy; R2 = H, C1-6 alkyl, -alkenyl, -alkynyl, -hydroxyalkyl, -haloalkyl, -cyanoalkyl; Ar = (substituted) phenylene, -heterocyclene; L = CONH, NHCO, CH:CH, etc.; Y = C1-10 aryl, -hydrogenated aryl, -heteroaryl, etc.) or a pharmaceutically-acceptable salt thereof, are prepared (PhO)2PON3 and Et3N were added successively to a mixture of p-[N-(3,4-dihydro-2-methyl-4- oxoquinazolin-6-methyl)-N-prop-2-ynylamino]benzoic acid-trifluoroacetic acid salt and DMSO. The mixture was stirred for 5 h followed by 3-(aminomethyl)pyridine to give I (R1 = H; R2 = HC.tplbond.CCH2; ArL = C6H4CO; Y = 3-pyridylmethyl). Similarly prepared was I (R1 = Me; R2 = HC.tplbond.CCH2, L = NHCO; Y = 2-pyridylmethyl) (II). II showed an IC50 of 3.9 μM against L1210 cell line. Pharmaceutical formulations comprising I are given.

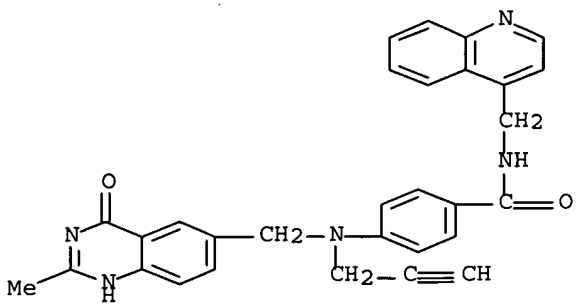
IT 131051-76-8P 131051-77-9P 131051-78-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antitumor agent)

RN 131051-76-8 CAPLUS

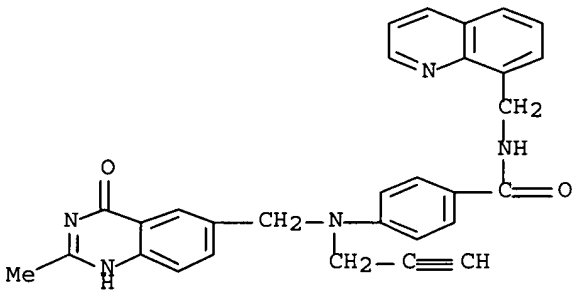
CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N-(3-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 131051-77-9 CAPLUS
CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N-(4-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 131051-78-0 CAPLUS
CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N-(8-quinolinylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:414765 CAPLUS Full-text
DOCUMENT NUMBER: 73:14765
TITLE: Reactions with imido esters. XIII. Preparation of fluorescent nitriles and imido esters. Possible application as indicators in peptide chemistry
AUTHOR(S): Ried, Walter; Piechaczek, Detlef; Vollberg, Erhard
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt
SOURCE: Justus Liebigs Annalen der Chemie (1970), 734, 13-22
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 73:14765
GI For diagram(s), see printed CA Issue.
AB Condensation of p-NCC6H4CHO with 2-methylbenzoxazoles (I) (X = O), -benzothiazoles (I) (X = S), or -benzimidazoles (I) (X = NH) (where R = H, Cl, or Me; and R1 = H or Me) gave 14-91% 2-[2-(p- cyanophenyl)vinyl]benzoxazoles

(II) (X = O), -benzothiazoles (II) (X = S), or -benzimidazoles (II) (X = NH). Similar condensation of 2-methylquinolines (III) (where R = H or Me, R1 = H or Me, and R2 = H or Me) gave 19-50% 2-[2-(p-cyanophenyl)vinyl]quinolines (IV). II (where R = R1 = H) were converted in 12-65% yield into 2-[2-[p-[R2C(:NH)-substituted]phenyl]vinyl]benzoxazoles (V) (X = O), -benzothiazoles (V) (X = S), or -benzimidazoles (V) (X = NH) (where R2 = OEt or OCH2CH2OMe). Similarly, IV (where R = R1 = R2 = H or Me) were transformed in 12-18% yield into 2-methoxyethyl-p-[2-(2-quinolyl)vinyl]benzimidates (VI). The fluorescent imidates V and VI were proposed for labeling proteins.

IT 27051-14-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 27051-14-5 CAPLUS

CN 4(1H)-Quinazolinone, 2-[p-[2-(2-quinolyl)vinyl]phenyl]- (8CI) (CA INDEX NAME)